

=> d his

(FILE 'HOME' ENTERED AT 13:28:41 ON 14 SEP 2000)

FILE 'REGISTRY' ENTERED AT 13:29:01 ON 14 SEP 2000

```

L1          STRUCTURE UPLOADED
L2          0 S L1
L3          STRUCTURE UPLOADED
L4          0 S L1
L5          0 S L4 FULL
L6          STRUCTURE UPLOADED
L7          0 S L6
L8          STRUCTURE UPLOADED
L9          0 S L8
L10         STRUCTURE UPLOADED
L11         4 S L10
L12         STRUCTURE UPLOADED
L13         0 S L12
L14         STRUCTURE UPLOADED
L15         0 S L14
L16         13 S L15 FULL

```

FILE 'CA' ENTERED AT 13:40:18 ON 14 SEP 2000

```

L17         5 S L16
L18         0 S L17 AND MURRAY, A?/AU
L19         0 S L17 AND SAUERBERG, P?/AU
L20         0 S L17 AND JEPPESEN, L?/AU
L21         0 S L17 AND PETTERSON, I?/AU
L22         0 S L17 AND BURY, P?/AU

```

FILE 'CAOLD' ENTERED AT 13:42:21 ON 14 SEP 2000

```

L23         0 S L16

```

FILE 'REGISTRY' ENTERED AT 14:22:58 ON 14 SEP 2000

```

L24         STRUCTURE UPLOADED
L25         0 S L24
L26         STRUCTURE UPLOADED
L27         0 S L26
L28         STRUCTURE UPLOADED
L29         1 S L28
L30         443 S L29 FULL
L31         STRUCTURE UPLOADED
L32         0 S L31
L33         STRUCTURE UPLOADED
L34         0 S L33
L35         STRUCTURE UPLOADED
L36         1 S L35
L37         1 S L36
L38         36 S L36 FULL
L39         29 S L38 NOT L16

```

FILE 'CA' ENTERED AT 14:33:54 ON 14 SEP 2000

```

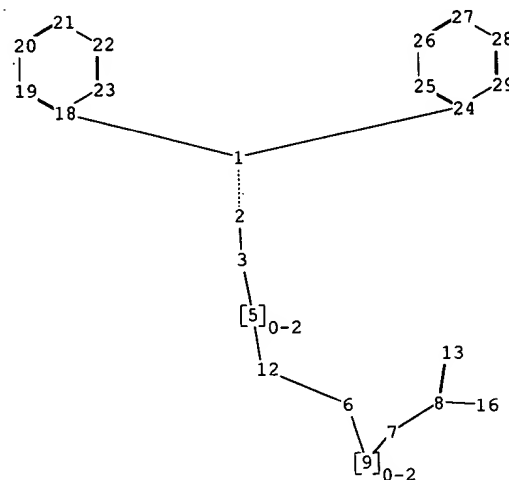
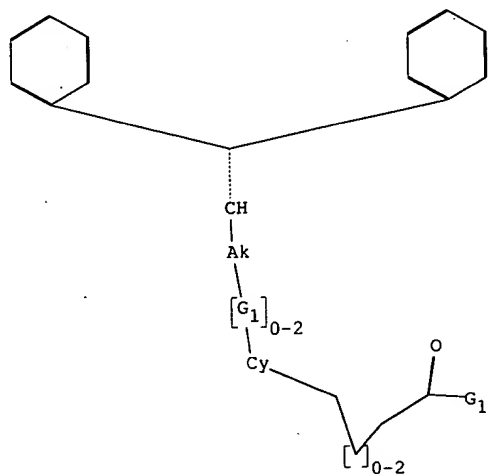
L40         9 S L39

```

L41 0 S L40 AND MURRAY, A?/AU
L42 0 S L40 AND SAUERBERG, P?/AU
L43 0 S L40 AND JEPPESEN, L?/AU
L44 0 S L40 AND PETTERSON, I?/AU
L45 0 S L40 AND BURY, P?/AU

FILE 'CAOLD' ENTERED AT 14:35:58 ON 14 SEP 2000
L46 3 S L39

FILE 'REGISTRY' ENTERED AT 14:36:20 ON 14 SEP 2000
L47 1 S 5294-64-4/RN
L48 1 S 5522-10-1/RN
L49 1 S 5590-63-6/RN
L50 1 S 2390-20-7/RN
L51 1 S 2459-71-4/RN



chain nodes :

1 2 3 5 6 7 8 9 12 13 16

ring nodes :

18 19 20 21 22 23 24 25 26 27 28 29

chain bonds :

1-2 1-18 1-24 2-3 3-5 5-12 6-9 6-12 7-9 7-8 8-13 8-16

ring bonds :

18-19 18-23 19-20 20-21 21-22 22-23 24-25 24-29 25-26 26-27 27-28 28-29

exact/norm bonds :

1-2 2-3 3-5 5-12 6-12 8-13 8-16

exact bonds :

1-18 1-24 6-9 7-9 7-8

normalized bonds :

18-19 18-23 19-20 20-21 21-22 22-23 24-25 24-29 25-26 26-27 27-28 28-29

isolated ring systems :

containing 18 : 24 :

G1:O,S,N

Match level :

1:CLASS 2:CLASS 3:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS 12:Atom 13:CLASS
 16:CLASS 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom
 27:Atom 28:Atom 29:Atom

Connecting via Winsock to STN

Trying 3106016892...Open

Welcome to STN International! Enter x:x

LOGINID:sssptal612BXR

PASSWORD:

* * * * * RECONNECTED TO STN INTERNATIONAL * * * * *
 SESSION RESUMED IN FILE 'CAOLD' AT 14:22:51 ON 14 SEP 2000
 FILE 'CAOLD' ENTERED AT 14:22:51 ON 14 SEP 2000
 COPYRIGHT (C) 2000 AMERICAN CHEMICAL SOCIETY (ACS)

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.30	284.90

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-2.65

=> file reg

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.30	284.90

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-2.65

FILE 'REGISTRY' ENTERED AT 14:22:58 ON 14 SEP 2000
 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
 COPYRIGHT (C) 2000 American Chemical Society (ACS)

STRUCTURE FILE UPDATES: 13 SEP 2000 HIGHEST RN 289029-92-1
 DICTIONARY FILE UPDATES: 13 SEP 2000 HIGHEST RN 289029-92-1

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 11, 2000

Please note that search-term pricing does apply when
 conducting SmartSELECT searches.

Structure search limits have been increased. See HELP SLIMIT
 for details.

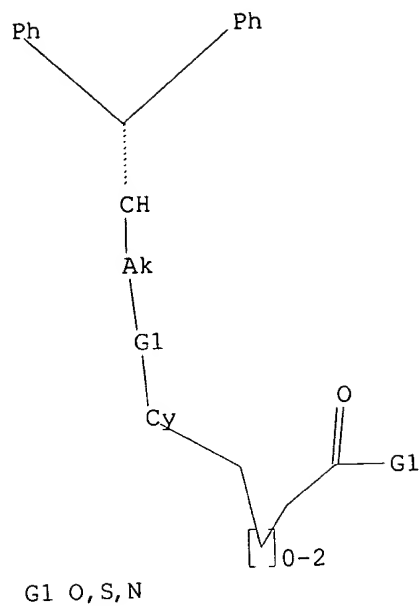
=>

Uploading 9551740h.str

L24 STRUCTURE UPLOADED

=> d 124

L24 HAS NO ANSWERS
 L24 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 124

SAMPLE SEARCH INITIATED 14:23:32 FILE 'REGISTRY'
 SAMPLE SCREEN SEARCH COMPLETED - 5090 TO ITERATE

0 ANSWERS

19.6% PROCESSED 1000 ITERATIONS
 INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
 PROJECTED ITERATIONS: 97528 TO 106072
 PROJECTED ANSWERS: 0 TO 0

L25 0 SEA SSS SAM L24

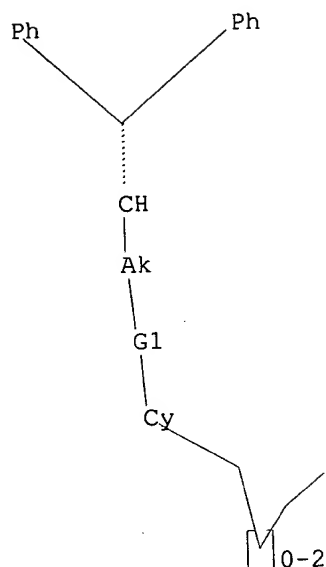
=>

Uploading 9551740i.str

L26 STRUCTURE UPLOADED

=> d 126

L26 HAS NO ANSWERS
 L26 STR



G1 O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> s 126

SAMPLE SEARCH INITIATED 14:24:37 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 14260 TO ITERATE

7.0% PROCESSED 1000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 278074 TO 292326
PROJECTED ANSWERS: 0 TO 0

L27 0 SEA SSS SAM L26

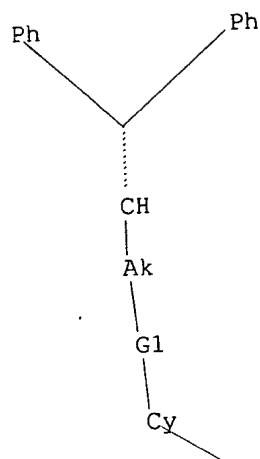
=>

Uploading 9551740j.str

L28 STRUCTURE UPLOADED

=> d 128

L28 HAS NO ANSWERS
L28 STR



G1 O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> s 128

SAMPLE SEARCH INITIATED 14:26:06 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 15721 TO ITERATE

1 ANSWERS

6.4% PROCESSED 1000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 306942 TO 321898
PROJECTED ANSWERS: 77 TO 551

L29 1 SEA SSS SAM L28

=> s 129 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 126.00 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y

FULL SEARCH INITIATED 14:26:33 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 317037 TO ITERATE

443 ANSWERS

100.0% PROCESSED 317037 ITERATIONS
SEARCH TIME: 00.00.16

L30 443 SEA SSS FUL L28

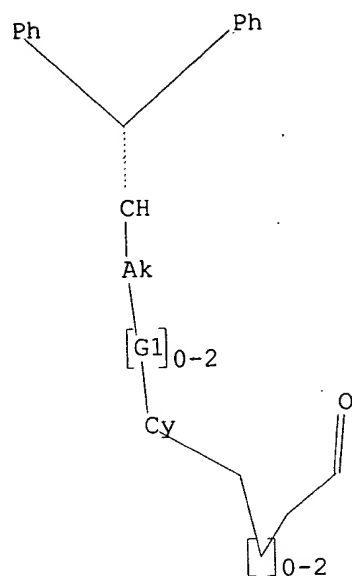
=>

Uploading 9551740k.str

L31 STRUCTURE UPLOADED

=> d 131

L31 HAS NO ANSWERS
L31 STR



G1 O, S, N

Structure attributes must be viewed using STN Express query preparation.

=> s 131

SAMPLE SEARCH INITIATED 14:28:48 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 6819 TO ITERATE

14.7% PROCESSED 1000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 131439 TO 141321
PROJECTED ANSWERS: 0 TO 0

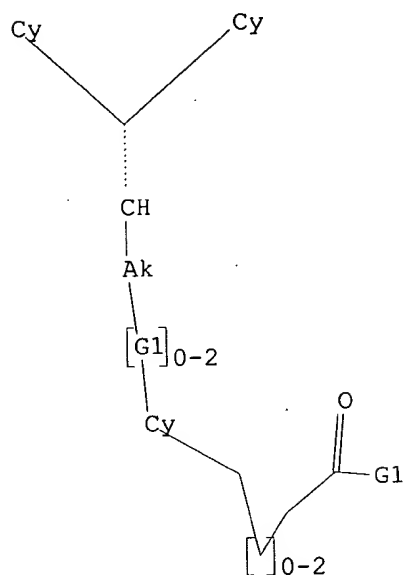
L32 0 SEA SSS SAM L31

=>
Uploading 95517401.str

L33 STRUCTURE UPLOADED

=> d 133

L33 HAS NO ANSWERS
L33 STR



G1 O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> s 133

SAMPLE SEARCH INITIATED 14:30:24 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 202716 TO ITERATE

0.5% PROCESSED 1000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: EXCEEDS 1000000
PROJECTED ANSWERS: EXCEEDS 0

L34 0 SEA SSS SAM L33

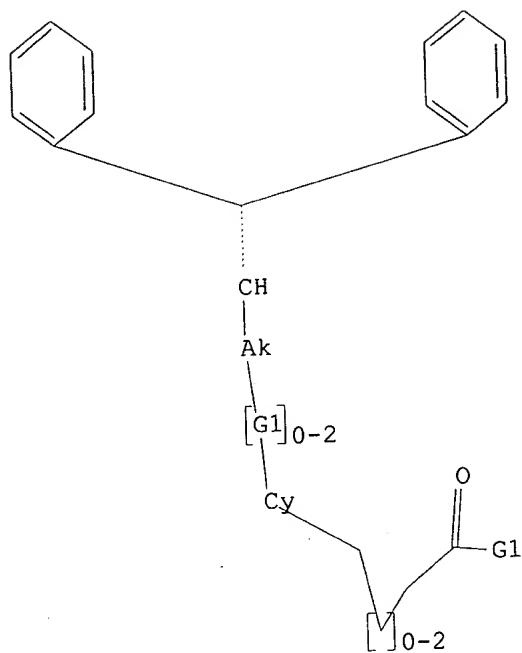
=>

Uploading 9551740m.str

L35 STRUCTURE UPLOADED

=> d 135

L35 HAS NO ANSWERS
L35 STR



G1 O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> s 135

SAMPLE SEARCH INITIATED 14:32:15 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 5132 TO ITERATE

1 ANSWERS

19.5% PROCESSED 1000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 98351 TO 106929
PROJECTED ANSWERS: 1 TO 237

L36 1 SEA SSS SAM L35

=> s 136

SAMPLE SEARCH INITIATED 14:32:21 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 5132 TO ITERATE

19.5% PROCESSED 1000 ITERATIONS
 INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
 SEARCH TIME: 00.00.01

1 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
 PROJECTED ITERATIONS: 98351 TO 106929
 PROJECTED ANSWERS: 1 TO 237

L37 1 SEA SSS SAM L35

=> s l36 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 126.00 U.S. DOLLARS
 DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y

FULL SEARCH INITIATED 14:32:29 FILE 'REGISTRY'
 FULL SCREEN SEARCH COMPLETED - 102395 TO ITERATE

36 ANSWERS

100.0% PROCESSED 102395 ITERATIONS
 SEARCH TIME: 00.00.08

L38 36 SEA SSS FUL L35

=> d his

(FILE 'HOME' ENTERED AT 13:28:41 ON 14 SEP 2000)

FILE 'REGISTRY' ENTERED AT 13:29:01 ON 14 SEP 2000

L1	STRUCTURE UPLOADED
L2	0 S L1
L3	STRUCTURE UPLOADED
L4	0 S L1
L5	0 S L4 FULL
L6	STRUCTURE UPLOADED
L7	0 S L6
L8	STRUCTURE UPLOADED
L9	0 S L8
L10	STRUCTURE UPLOADED
L11	4 S L10
L12	STRUCTURE UPLOADED
L13	0 S L12
L14	STRUCTURE UPLOADED
L15	0 S L14
L16	13 S L15 FULL

FILE 'CA' ENTERED AT 13:40:18 ON 14 SEP 2000

L17	5 S L16
L18	0 S L17 AND MURRAY, A?/AU
L19	0 S L17 AND SAUERBERG, P?/AU
L20	0 S L17 AND JEPPESEN, L?/AU
L21	0 S L17 AND PETTERSON, I?/AU
L22	0 S L17 AND BURY, P?/AU

FILE 'CAOLD' ENTERED AT 13:42:21 ON 14 SEP 2000

L23 0 S L16

FILE 'REGISTRY' ENTERED AT 14:22:58 ON 14 SEP 2000

L24 STRUCTURE UPLOADED
 L25 0 S L24
 L26 STRUCTURE UPLOADED
 L27 0 S L26
 L28 STRUCTURE UPLOADED
 L29 1 S L28
 L30 443 S L29 FULL
 L31 STRUCTURE UPLOADED.
 L32 0 S L31
 L33 STRUCTURE UPLOADED
 L34 0 S L33
 L35 STRUCTURE UPLOADED
 L36 1 S L35
 L37 1 S L36
 L38 36 S L36 FULL

=> s l38 not l16

L39 29 L38 NOT L16

=> file ca

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
	257.40	542.30
FULL ESTIMATED COST		
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
	0.00	-2.65
CA SUBSCRIBER PRICE		

FILE 'CA' ENTERED AT 14:33:54 ON 14 SEP 2000
 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
 COPYRIGHT (C) 2000 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications.

FILE COVERS 1967 - 8 Sep 2000 VOL 133 ISS 12
 FILE LAST UPDATED: 8 Sep 2000 (20000908/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

Now you can extend your author, patent assignee, patent information, and title searches back to 1907. The records from 1907-1966 now have

this searchable data in CAOLD. You now have electronic access to all of CA: 1907 to 1966 in CAOLD and 1967 to the present in CA on STN.

=> s 139

L40 9 L39

=> s 140 and murray, a?/au

L41 833 MURRAY, A?/AU
0 L40 AND MURRAY, A?/AU

=> s 140 and sauerberg, p?/au

L42 70 SAUERBERG, P?/AU
0 L40 AND SAUERBERG, P?/AU

=> s 140 and jeppesen, l?/au

L43 35 JEPPESEN, L?/AU
0 L40 AND JEPPESEN, L?/AU

=> s 140 and pettersen, i?/au

L44 5 PETTERSON, I?/AU
0 L40 AND PETTERSON, I?/AU

=> s 140 and bury, p?/au

L45 62 BURY, P?/AU
0 L40 AND BURY, P?/AU

=> d 140, ibib abs hitstr, 1-9

L40 ANSWER 1 OF 9 CA COPYRIGHT 2000 ACS
ACCESSION NUMBER: 132:194656 CA
TITLE: Preparation of proline derivatives and related
compounds as GABA uptake inhibitors
INVENTOR(S): Wanner, Klaus; Fuelep, Guenther; Hoefner, Georg
PATENT ASSIGNEE(S): Germany
SOURCE: Ger. Offen., 36 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19840611	A1	20000309	DE 1998-19840611	19980905
WO 2000014064	A2	20000316	WO 1999-EP6486	19990903
WO 2000014064	A3	20000720		

W: AU, BR, CA, CN, CZ, HU, IL, JP, KR, MX, NO, NZ, PL, RU, TR, US,
ZA
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,

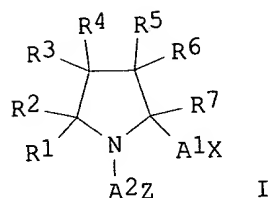
PT, SE
AU 9959726
PRIORITY APPLN. INFO.:

A1 20000327

AU 1999-59726 19990903
DE 1998-19840611 19980905
WO 1999-EP6486 19990903

OTHER SOURCE(S):
GI

MARPAT 132:194656



AB Title compds. [I; R1-R7 = H, OH, halo, cyano, alkyl, alkenyl, alkynyl, (substituted) aryl, heteroaryl, etc.; R1R2 and/or R3R4 and/or R5R6 = (substituted) alkylidene, O; pairs of adjoining R1-R7 = double bond; X = CO2M, group physiol. convertible to CO2M; M = H, pharmaceutically acceptable cation; Z = Y3CO, Y2C:CR15, Y2C:NO; R15 = H, alkyl, halo; Y = (substituted) aryl, heteroaryl; A1 = (CR8R9)n, (substituted) alkylene, or a combination thereof; n .gtoreq.2; R8, R9 = H, alkyl, halo, OH, etc.; A2 = (CR10R11)m; R10, R11 = H, alkyl, halo; m .gtoreq.2], were prepd. as

GABA uptake inhibitors (no data). Thus, L-proline Me ester hydrochloride (prepn. given), KI, K2CO3, and 4,4-diphenylbut-3-en-1-yl bromide were stirred 46 h in acetone to give 52.4% Me (S)-N-(4,4-diphenylbut-3-en-1-yl)pyrrolidine-2-carboxylate.

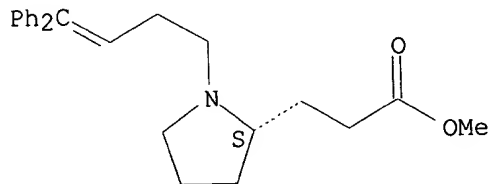
IT 259868-48-9P 259868-49-0P 259868-64-9P
259868-65-0P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of proline derivs. and related compds. as GABA uptake inhibitors)

RN 259868-48-9 CA

CN 2-Pyrrolidinepropanoic acid, 1-(4,4-diphenyl-3-butenyl)-, methyl ester, (2S)- (9CI) (CA INDEX NAME)

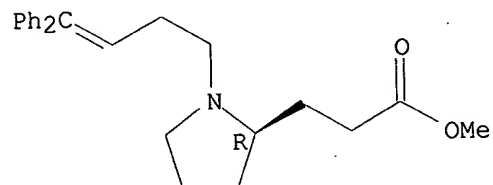
Absolute stereochemistry. Rotation (-).



RN 259868-49-0 CA

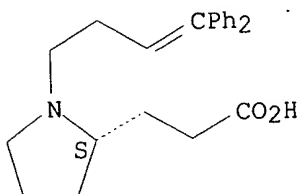
CN 2-Pyrrolidinepropanoic acid, 1-(4,4-diphenyl-3-butenyl)-, methyl ester,
(2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



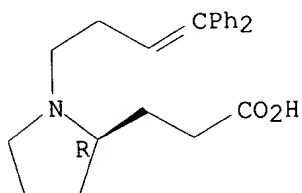
RN 259868-64-9 CA
CN 2-Pyrrolidinepropanoic acid, 1-(4,4-diphenyl-3-butenyl)-, (2S)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 259868-65-0 CA
CN 2-Pyrrolidinepropanoic acid, 1-(4,4-diphenyl-3-butenyl)-, (2R)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT:
REFERENCE(S):

- 8
(1) Andersen; J Med Chem 1993, V36, PS1716
(2) Anon; EP 0231996 A2 CA
(4) Anon; EP 0374801 A2 CA
(5) Anon; US 4514414 CA
(7) Anon; WO 9745115 A1 CA
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L40 ANSWER 2 OF 9 CA COPYRIGHT 2000 ACS
ACCESSION NUMBER: 131:219171 CA

TITLE: Glycine transport inhibitors
 INVENTOR(S): Luyten, Walter Herman Maria Louis; Janssens, Frans
 Eduard; Kennis, Ludo Edmond Josephine
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
 SOURCE: PCT Int. Appl., 20 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9944596	A2	19990910	WO 1999-EP1309	19990226
WO 2000044596	A3	20000217		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ,				
TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9934089	A1	19990920	AU 1999-34089	19990226
PRIORITY APPLN. INFO.:			EP 1998-200701	19980306
			WO 1999-EP1309	19990226

OTHER SOURCE(S): MARPAT 131:219171

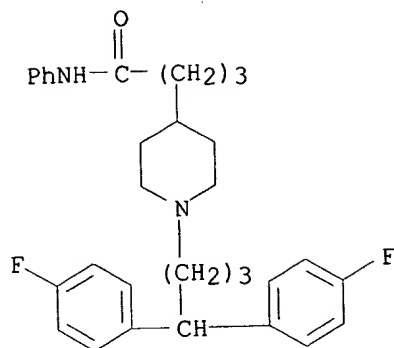
AB The present invention is concerned with the use of glycine transport
 inhibiting [4,4-bis(4-fluorophenyl)butyl]-1-(piperazinyl and piperidinyl)
 derivs. for the prepn. of medicaments for treating disorders of the
 central and peripheral nervous system, in particular psychoses, pain,
 epilepsy, neurodegenerative diseases (Alzheimer's disease), stroke, head
 trauma, multiple sclerosis and the like. E.g., 3-[1-[4,4-bis(4-
 fluorophenyl)butyl]-4-piperidinyl]-3,4-dihydro-2(1H)-quinazolinone was
 prepd. as were a no. of other derivs. The compds. were assayed for
 transport via GlyT1 transporters. Film-coated tablets were also prepd.

IT 242792-05-8P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)
 (glycine transport inhibitors)

RN 242792-05-8 CA

CN 4-Piperidinebutanamide, 1-[4,4-bis(4-fluorophenyl)butyl]-N-phenyl-,
 dihydrochloride (9CI) (CA INDEX NAME)

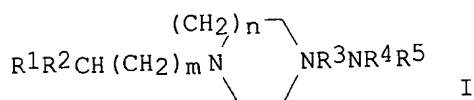


● 2 HCl

L40 ANSWER 3 OF 9 CA COPYRIGHT 2000 ACS
 ACCESSION NUMBER: 129:225745 CA
 TITLE: Diphenyl(alkyl)piperazines or homopiperazines and
 dopamine reuptake inhibitors containing them
 INVENTOR(S): Namiki, Takayuki; Kimura, Makoto; Nishio, Azuma;
 Kawakatsu, Tsuneyuki; Kishii, Kanekazu; Oita, Kyoko;
 Inazu, Masato; Kubota, Nobuo
 PATENT ASSIGNEE(S): Pola Chemical Industries, Inc., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10218866	A2	19980818	JP 1997-40056	19970207

OTHER SOURCE(S): MARPAT 129:225745
 GI

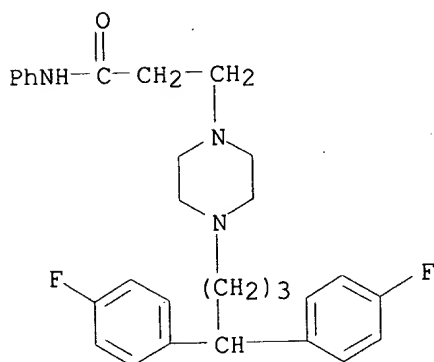


AB The title compds. I [R1, R2 = H, (halo)phenyl; R3 = C1-4 alkylene which may be substituted with OH, C1-4 alkoxy, C1-4 acyloxy; R4, R5 = H, C1-4 alkyl, Ph which may be substituted with OH, C1-4 alkyl, C1-4 alkoxy, halo;
 m = 0-4; n = 1, 2; if n = 1, then R3 = nonsubstituted alkylene] and/or their physiol. acceptable salts are prepd. Dopamine reuptake inhibitors, useful as prophylactic and/or therapeutic agents for parkinsonism contain

I and/or their salts as active ingredients. (S)-(+)-1-[4,4-bis(4-fluorophenyl)butyl]-4-[2-hydroxy-3-(phenylamino)propyl]homopiperazine (prepn. given) inhibited dopamine reuptake.

IT 212828-76-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of diphenyl(alkyl)piperazines or homopiperazines as dopamine reuptake inhibitors for treatment of parkinsonism)

RN 212828-76-7 CA
 CN 1-Piperazinepropanamide, 4-[4,4-bis(4-fluorophenyl)butyl]-N-phenyl- (9CI) (CA INDEX NAME)



L40 ANSWER 4 OF 9 CA COPYRIGHT 2000 ACS
 ACCESSION NUMBER: 128:75424 CA
 TITLE: Preparation of cyclic diamines and their use as chemokine MCP-1 and/or MIP-1.alpha. antagonists
 INVENTOR(S): Yamagami, Shinsuke; Shiota, Tatsuki; Kataoka, Kenichiro; Tanaka, Hiroko; Endo, Noriaki
 PATENT ASSIGNEE(S): Teijin Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 39 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09309877	A2	19971202	JP 1996-147846	19960520
WO 9744329	A1	19971127	WO 1997-US8577	19970520
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT,				
SE				
AU 9731354	A1	19971209	AU 1997-31354	19970520
EP 914319	A1	19990512	EP 1997-926639	19970520
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
PRIORITY APPLN. INFO.:			JP 1996-147846	19960520
			US 1997-858238	19970519
			WO 1997-US8577	19970520

OTHER SOURCE(S):
GI

MARPAT 128:75424

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The diamines I [R1-2 = H, halo, C1-3 alkyl, C1-3 alkoxy; m = 1-3; n = 1-2;

R3 = A1R4 [R4 = (un)substituted phenyl; A1 = (CH2)1, (CH2)pG1(CH2)q; 1 = 0-3; p = 1-3; q = 0-1; G1 = CO2, CONH, NHCO, NHCONH, NHSO2], A2R5 [A2 = CO, SO2; R5 = (un)substituted Ph, monocyclic heteroarom. group contg. 1-2, S, and/or N, CH2NR8R9 [R8 = H, C1-3 alkyl; R9 = (un)substituted Ph, phenylalkyl]], (CH2)rA3 [A3 = (un)substituted quinolyl, indolyl, benzimidazolyl, II, III; r = 1-3]] (IV) or their pharmacol. acceptable acid salts are prepd. by (a) treatment of I (R3 = H) with XR3 (R3 = same as in IV except A2R5; X = halo, alkylsulfonyloxy, arylsulfonyloxy), by

(b) treatment of cyclic diamines V (R3 and n = same as in IV) with VI (R1-2 and m = same as in IV; X = halo, alkylsulfonyloxy, arylsulfonyloxy), or

by (c) treatment of V with aldehydes VII (R1-2 and m = same as in IV) under

a reductive condition. Also claimed are MCP-1 (monocyte chemotactic protein-1) and/or MIP-1.alpha. (macrophage inflammatory protein-1.alpha.) antagonists contg. IV or their salts. The antagonists are useful for treatment of diseases, in which infiltration of monocyte, lymphocyte, etc., into tissues are involved, e.g. rheumatoid arthritis, restenosis after angioplasty, multiple sclerosis, asthma, ulcerative colitis, etc. 1-(3,3-Diphenylpropyl)homopiperazine (prepn. given) was dissolved in MeCN and the soln. was treated with 4-BrCH2C6H4NO2 and Na2CO3 at 70.degree.

for 14 h to give 1-(3,3-diphenylpropyl)-4-(4-nitrobenzyl)homopiperazine,

which was further treated with HCl/Et2O to give its HCl salt. This compd. showed 88% inhibition of binding of [35S]methionine-labeled human MCP-1

to THP-1 cell at inhibition rate 88%. Tablets and injection solns. contg.

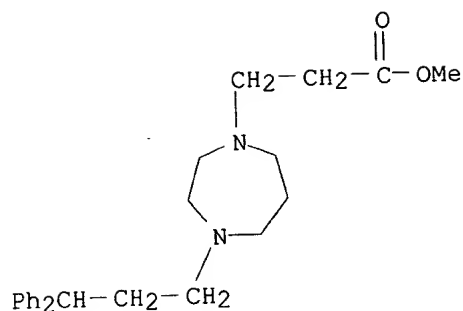
IV were also formulated.

IT 200442-13-3

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of N-diphenylalkyl cyclic diamines as chemokine MCP-1 and/or MIP-1.alpha. antagonists)

RN 200442-13-3 CA

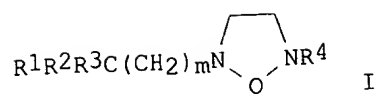
CN 1H-1,4-Diazepine-1-propanoic acid, 4-(3,3-diphenylpropyl)hexahydro-, methyl ester, hydrochloride (9CI) (CA INDEX NAME)



• x HCl

L40 ANSWER 5 OF 9 CA COPYRIGHT 2000 ACS
 128:48247 CA
 ACCESSION NUMBER: Preparation of diarylalkyl cyclic diamine derivatives
 as chemokine receptor antagonists.
 TITLE: Shiota, Tatsuki; Yamagami, Shinsuke; Kataoka,
 Kenichiro; Endo, Noriaki; Tanaka, Hiroko; Barnum,
 INVENTOR(S): Doug; Greene, Jonathan; Moree, Wilna;
 Ramirez-Weinhouse, Michelle; Tarby, Christine
 PATENT ASSIGNEE(S): Teijin Limited, Japan; Shiota, Tatsuki; Yamagami,
 Shinsuke; Kataoka, Kenichiro; Endo, Noriaki; Tanaka,
 Hiroko; Barnum, Doug; Greene, Jonathan; Moree, Wilna;
 et al.
 SOURCE: PCT Int. Appl., 148 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9744329	A1	19971127	WO 1997-US8577	19970520
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT,				
SE				
JP 09309877	A2	19971202	JP 1996-147846	19960520
AU 9731354	A1	19971209	AU 1997-31354	19970520
EP 914319	A1	19990512	EP 1997-926639	19970520
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
IE, FI				
PRIORITY APPLN. INFO.:			JP 1996-147846	19960520
			US 1997-858238	19970519
			WO 1997-US8577	19970520
OTHER SOURCE(S):	MARPAT 128:48247			
GI				

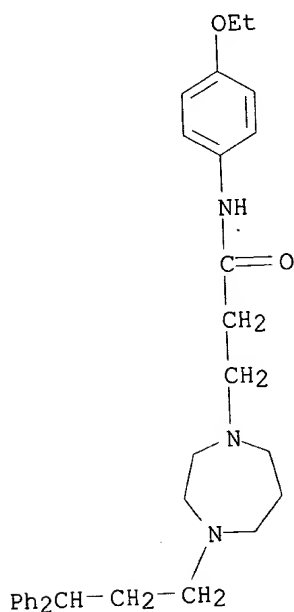


AB Title compds. [I; R¹, R² = (substituted) Ph, heteroaryl; R³ = H, OH, cyano, alkoxy, alkanoyloxy; R⁴ = AlR⁷, A²R¹¹, etc.; ; R⁷ = (substituted) Ph; A² = CO, SO₂; R¹¹ = (substituted) Ph, heteroaryl, aminomethyl, etc.;

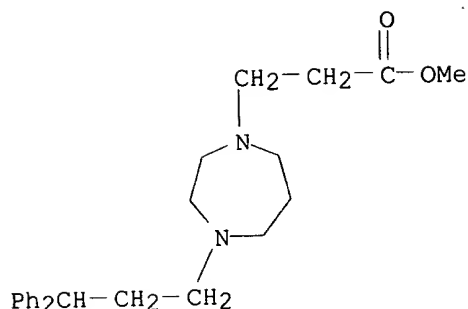
Q = (CH₂)_n; m = 0-3; n = 2,3], were prepd. Thus, a mixt. of homopiperazine and homopiperazine dihydrochloride in EtOH was treated with NaI and 3,3-diphenylpropyl mesylate at 70.degree.; the residue was treated with 4-nitrobenzyl bromide and K₂CO₃ in MeCN at 70.degree. to give 1-(3,3-diphenylpropyl)-4-(4-nitrobenzyl)homopiperazine. Numerous I inhibited binding of MCP-1 to THP-1 cells by >20% at 100 .mu.M.

IT 199935-65-4P 199937-21-8P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of diarylalkyl cyclic diamine derivs. as chemokine receptor antagonists)

RN 199935-65-4 CA
CN 1H-1,4-Diazepine-1-propanamide, 4-(3,3-diphenylpropyl)-N-(4-ethoxyphenyl)hexahydro- (9CI) (CA INDEX NAME)



RN 199937-21-8 CA
CN 1H-1,4-Diazepine-1-propanoic acid, 4-(3,3-diphenylpropyl)hexahydro-, methyl ester (9CI) (CA INDEX NAME)



L40 ANSWER 6 OF 9 CA COPYRIGHT 2000 ACS
 125:276584 CA
 ACCESSION NUMBER: 125:276584 CA
 TITLE: Preparation of peptide inhibitors of serine protease.
 INVENTOR(S): Green, Donovan St. Clair; Elgendy, Said Mohammed Anwr
 Ahmed; Patel, Geeta; Scully, Michael Finbarr;
 Goodwin,
 Christopher Andrew; Kakkar, Vijay Vir; Deadman, John
 Joseph
 PATENT ASSIGNEE(S): Ellerman Pharmaceuticals Limited, UK
 SOURCE: PCT Int. Appl., 77 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9625427	A1	19960822	WO 1996-GB352	19960215
W: AU, CA, JP, NZ, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2212830	AA	19960822	CA 1996-2212830	19960215
AU 9646729	A1	19960904	AU 1996-46729	19960215
AU 707059	B2	19990701		
GB 2299583	A1	19961009	GB 1996-3217	19960215
GB 2299583	B2	19970813		
EP 809650	A1	19971203	EP 1996-902391	19960215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
IE				
JP 11500433	T2	19990112	JP 1996-524774	19960215
ZA 9601225	A	19960823	ZA 1996-1225	19960216
PRIORITY APPLN. INFO.:			GB 1995-2985	19950216
			WO 1996-GB352	19960215

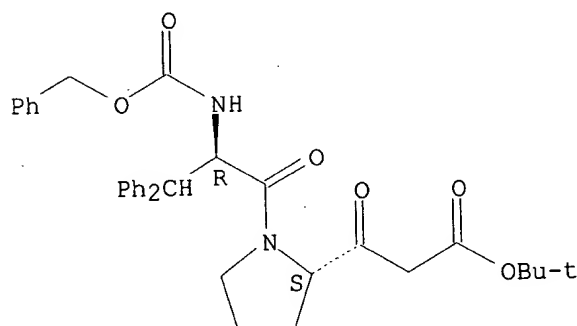
OTHER SOURCE(S): MARPAT 125:276584
 AB Peptide inhibitors of serine proteases, esp. thrombin, in which a natural
 amide linkage is replaced by another bond, were prepd. Exemplary
 thrombin
 inhibitors are: X-A3-A-.PSI.-A1-Z (X = H, substituent on the N-terminal
 amino group; A3 = hydrophobic amino acid residue such as Phe; A2 = Pro;
 A1
 = Arg or Arg analog; Z = COOH, heteroacid group and derivs; .PSI. =
 non-amide linkage contg. .ltoreq.5 in-chain atoms such as CO₂, CH₂O,
 NHCO,

CH₂CH₂). Thus, Z-DL-Dpa-Pro-OH (Dpa = .beta.,.beta.-diphenylalanyl) was stirred overnight with pinanediol 1-bromo-2-phenylethylboronate and DBU in CH₂Cl₂ to give Z-DL-Dpa-Pro-OCH(CH₂Ph)BPin (Pin = pinanediol residue). The latter inhibited thrombin with K_i = 0.039 .mu.M.

IT **182221-24-5P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of peptide inhibitors of serine protease)

RN 182221-24-5 CA
 CN 2-Pyrrolidinepropanoic acid, .beta.-oxo-1-[1-oxo-3,3-diphenyl-2-[[[(phenylmethoxy)carbonyl]amino]propyl]-, 1,1-dimethylethyl ester, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

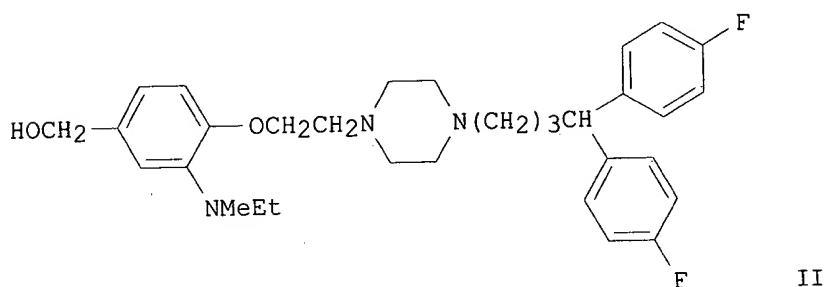
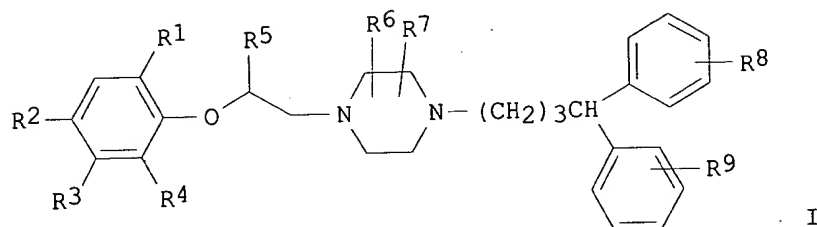
Absolute stereochemistry.



L40 ANSWER 7 OF 9 CA COPYRIGHT 2000 ACS
 ACCESSION NUMBER: 123:198828 CA
 TITLE: Preparation of N-(phenoxyethyl)-N'-(diphenylbutyl)piperazines as antiretrovirals.
 INVENTOR(S): Wild, Hanno; Bender, Wolfgang; Haebich, Dieter; Raddatz, Siegfried; Roeben, Wolfgang; Seidel, Peter-Rudolf; Hansen, Jutta; Paessens, Arnold
 PATENT ASSIGNEE(S): Bayer A.-G., Germany
 SOURCE: Eur. Pat. Appl., 38 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 623605	A2	19941109	EP 1994-106319	19940422
EP 623605	A3	19950301		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
DE 4314962	A1	19941110	DE 1993-4314962	19930506
AU 9460562	A1	19941110	AU 1994-60562	19940419
AU 678815	B2	19970612		
JP 06329644	A2	19941129	JP 1994-115939	19940502
CA 2122787	AA	19941107	CA 1994-2122787	19940503

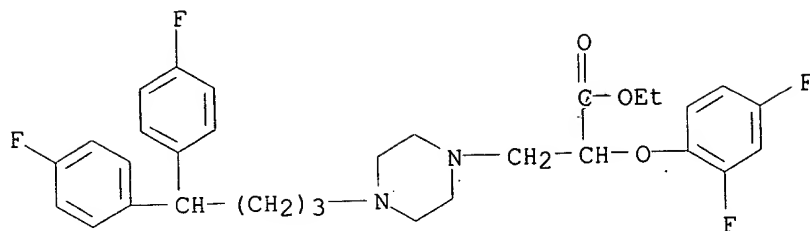
ZA 9403099 A 19950113 ZA 1994-3099 19940505
 HU 70837 A2 19951128 HU 1994-1331 19940505
 CN 1097745 A 19950125 CN 1994-104911 19940506
 PRIORITY APPLN. INFO.: DE 1993-4314962 19930506
 OTHER SOURCE(S): MARPAT 123:198828
 GI



AB Title compds. [I; R1-R4 = H, NO2, halo, CO2H, OH, CHO, alkoxy, alkoxy carbonyl, CF3, Ph, hydroxyalkyl, NR10R11, COA; R2R3, R3R4 = atoms to complete 5-7 membered carbocyclic rings; A = NR12CHR13(CH2)aCOR14; R10, R11 = H, Ph, PhCH2, protecting group, alkyl; NR10R11 = 5-7 membered satd. heterocyclyl; R12 = H, alkyl; R13 = H, aryl, cycloalkyl, (substituted) alkyl; R14 = OH, alkoxy; R5 = H, alkyl, CO2R18, COA; R1R5 = atoms to form a 5-6 membered heterocyclyl; R18 = H, alkyl, protecting group; R6, R7 = H, CO2H, (substituted) alkyl, alkoxy carbonyl; R8, R9 = halo, cyano, NO2, N3, OH], were prepd. Thus, title compd. II inhibited HIV protease with IC50 = 0.17 .mu.M.

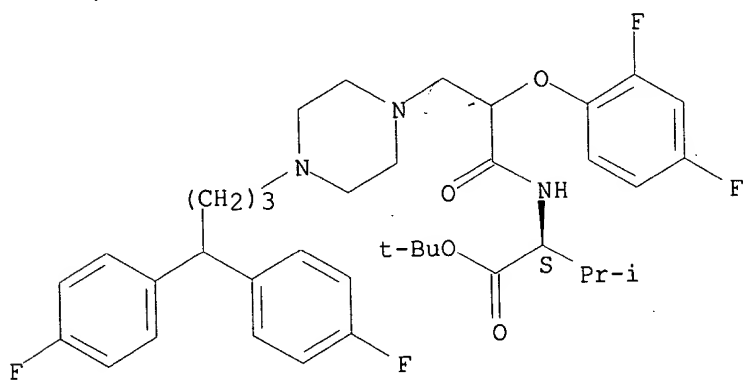
IT **168051-04-5P 168051-05-6P 168051-35-2P**
 RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of N-(phenoxyethyl)-N'-(diphenylbutyl)piperazines as antiretrovirals)
 RN 168051-04-5 CA
 CN 1-Piperazinepropanoic acid,
 4-[4,4-bis(4-fluorophenyl)butyl]-.alpha.-(2,4-

difluorophenoxy)-, ethyl ester (9CI) (CA INDEX NAME)

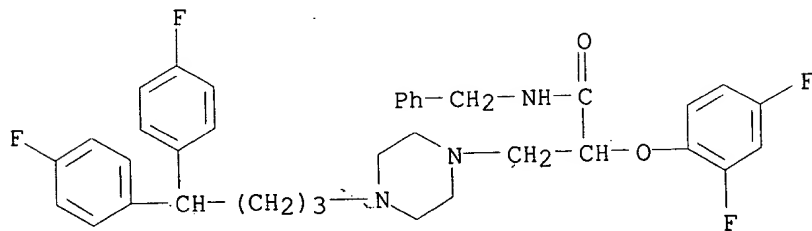


RN 168051-05-6 CA
CN L-Valine, N-[3-[4-[4-bis(4-fluorophenyl)butyl]-1-piperazinyl]-2-(2,4-difluorophenoxy)-1-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 168051-35-2 CA
CN 1-Piperazinepropanamide, 4-[4,4-bis(4-fluorophenyl)butyl]-.alpha.-(2,4-difluorophenoxy)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



L40 ANSWER 8 OF 9 CA COPYRIGHT 2000 ACS
ACCESSION NUMBER: 110:154141 CA
TITLE: Preparation of 1,2-diacetylpiperidines as prolyl

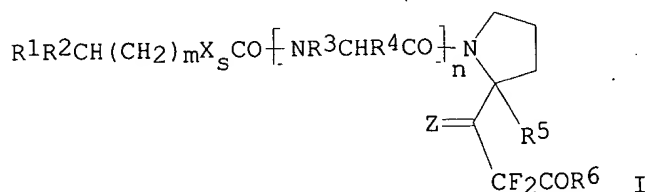
INVENTOR(S): endopeptidase inhibitors
 Henning, Rainer; Hock, Franz; Urbach, Hansjoerg
 PATENT ASSIGNEE(S): Hoechst A.-G., Fed. Rep. Ger.
 SOURCE: Eur. Pat. Appl., 24 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 286927	A2	19881019	EP 1988-105331	19880401
EP 286927	A3	19910508		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DE 3712364	A1	19881027	DE 1987-3712364	19870411
FI 8801612	A	19881012	FI 1988-1612	19880407
FI 87456	B	19920930		
FI 87456	C	19930111		
DK 8801919	A	19881012	DK 1988-1919	19880408
NO 8801545	A	19881012	NO 1988-1545	19880408
JP 63258852	A2	19881026	JP 1988-85541	19880408
HU 46659	A2	19881128	HU 1988-1781	19880408
HU 199785	B	19900328		
ZA 8802460	A	19881130	ZA 1988-2460	19880408
US 4912128	A	19900327	US 1988-179312	19880408
AU 8814465	A1	19881013	AU 1988-14465	19880411
AU 607987	B2	19910321		
IL 86028	A1	19930315	IL 1988-86028	19880411
			DE 1987-3712364	19870411

PRIORITY APPLN. INFO.:

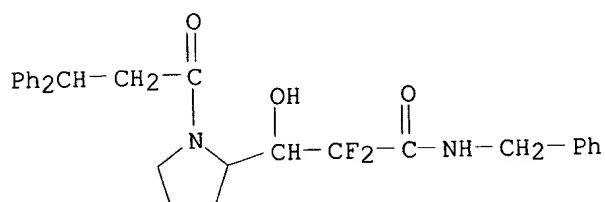
OTHER SOURCE(S): MARPAT 110:154141

GI

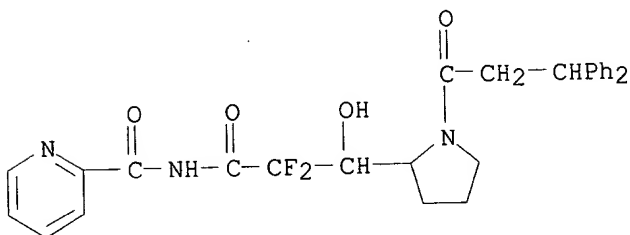


AB The title compds. [I; R₁ = H, C1-6 alkyl, (substituted) C6-12 aryl; R₂ = H, C1-6 alkyl, OH, C1-4 alkoxy, (substituted) C6-12 aryl, aryloxy, aroyl; R₁R₂ = (substituted) benzylidene; R₃ = H, C1-6 alkyl, arylalkyl, C5-9 cycloalkyl; tetrahydronaphthyl, indanyl; R₄ = H, (amino-substituted) C1-6 alkyl, alkenyl, C5-9 cycloalkyl, etc.; R₃R₄ = (CH₂)_p; R₅ = H, C1-6 alkyl, (substituted) arylalkyl; R₆ = OH, C1-8 alkyl, (substituted) arylalkyl, C1-8 alkoxy, (substituted) aryloxy, amino; X = O, imino; m = 0-5; n, s = 0, 1; Z = O; p = 3, 4, 5] (II) useful as prolyl endopeptidase inhibitors (no data) were prepd. Me bromodifluoroacetate and Zn were refluxed in THF. N-Benzylloxycarbonyl-S-prolyl-S-prolinal was added and the mixt. was refluxed 15 min to give Me
 3-[N-(N-benzylloxycarbonyl-S-prolyl)pyrrolidine-

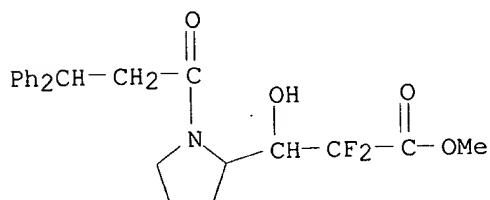
2S-yl]-3-hydroxy-2,2-difluoropropionate. The latter was oxidized to the corresp. oxo compd. with (COCl)₂/Me₂SO in CH₂Cl₂ at -78.degree..
 IT **119814-30-1P 119814-31-2P 119814-51-6P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as intermediate for prolyl endopeptidase inhibitor)
 RN 119814-30-1 CA
 CN 2-Pyrrolidinepropanamide,
 .alpha.,.alpha.-difluoro-.beta.-hydroxy-1-(1-oxo-
 3,3-diphenylpropyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 119814-31-2 CA
 CN 2-Pyridinecarboxamide, N-[2,2-difluoro-3-hydroxy-1-oxo-3-[1-(1-oxo-3,3-diphenylpropyl)-2-pyrrolidinyl]propyl]- (9CI) (CA INDEX NAME)



RN 119814-51-6 CA
 CN 2-Pyrrolidinepropanoic acid,
 .alpha.,.alpha.-difluoro-.beta.-hydroxy-1-(1-
 oxo-3,3-diphenylpropyl)-, methyl ester (9CI) (CA INDEX NAME)

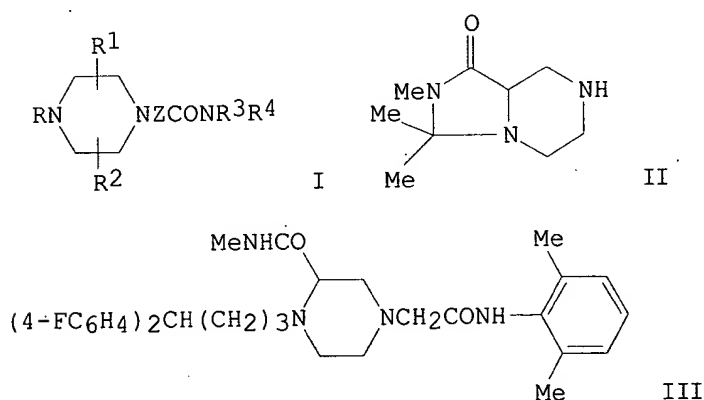


IT **119814-32-3P 119814-33-4P 119836-30-5P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as prolyl endopeptidase inhibitor)
 RN 119814-32-3 CA
 CN 2-Pyrrolidinepropanamide,
 .alpha.,.alpha.-difluoro-.beta.-oxo-1-(1-oxo-3,3-

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 68544	A2	19830105	EP 1982-200693	19820608
EP 68544	A3	19830511		
EP 68544	B1	19870603		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4766125	A	19880823	US 1982-362814	19820329
CA 1210395	A1	19860826	CA 1982-403950	19820528
AT 27603	E	19870615	AT 1982-200693	19820608
JP 58004774	A2	19830111	JP 1982-104058	19820618
JP 04060988	B4	19920929		
FI 8202243	A	19821224	FI 1982-2243	19820622
FI 75810	B	19880429		
FI 75810	C	19880808		
DK 8202801	A	19821224	DK 1982-2801	19820622
DK 149949	B	19861103		
DK 149949	C	19870615		
NO 8202087	A	19821227	NO 1982-2087	19820622
NO 163691	B	19900326		
NO 163691	C	19900704		
AU 8285084	A1	19830106	AU 1982-85084	19820622
AU 547524	B2	19851024		
ES 513366	A1	19830801	ES 1982-513366	19820622
ZA 8204428	A	19840229	ZA 1982-4428	19820622
HU 30273	O	19840328	HU 1982-2020	19820622
HU 189149	B	19860630		
PRIORITY APPLN. INFO.:			US 1981-276624	19810623
			US 1982-362814	19820329
			EP 1982-200693	19820608

GI

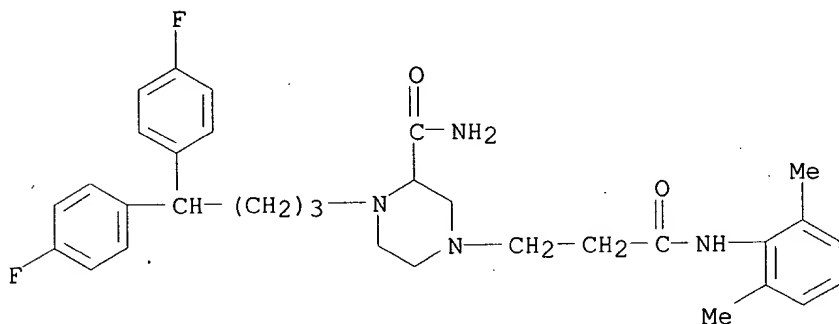


AB Piperazines I [R = substituted alkyl, alkenyl; R1 = hydroxyalkyl, alkoxyalkyl, carbamoyl, carboxy, etc.; R2, R3 = H, alkyl; R1 = (un)substituted Ph; Z = CH2, CH2CH2, CHMe] were prepd. Thus,

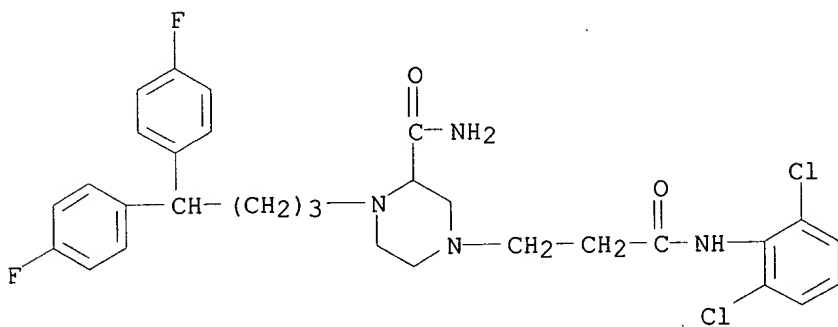
N-methyl-2-piperazinecarboxamide was cyclocondensed with Me₂CO to give II, which was N-alkylated with ClCH₂CONHC₆H₃Me₂-2,6 and hydrolyzed to give I (R = R₂ = R₃ = H, R₁ = 3-MeNHCO, R₄ = C₆H₃Me₂-2,6, Z = CH₂). This was treated with (4-FC₆H₄)₂CH(CH₂)₃I to give III. At 0.31 mg/kg i.v. in dogs, III.2HCl gave a 100% increase in O partial pressure in coronary sinus venous blood lasting >130 min.

IT 85816-56-4P 85816-63-3P 85816-71-3P
85816-75-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and effect of, on myocardium)

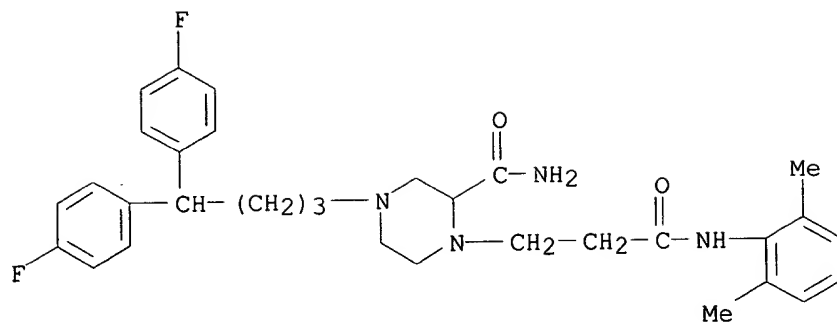
RN 85816-56-4 CA
CN 1-Piperazinepropanamide, 3-(aminocarbonyl)-4-[4,4-bis(4-fluorophenyl)butyl]-N-(2,6-dimethylphenyl)- (9CI) (CA INDEX NAME)



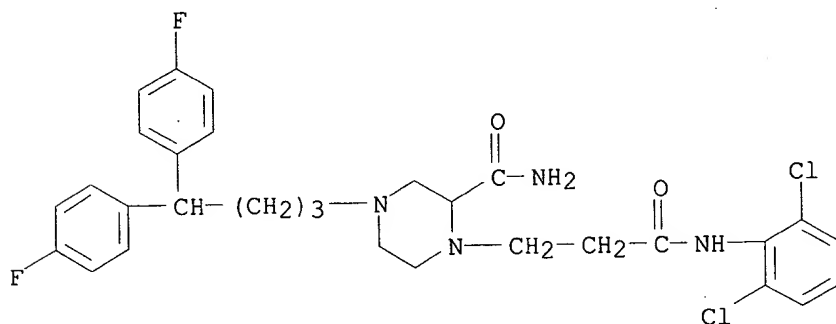
RN 85816-63-3 CA
CN 1-Piperazinepropanamide, 3-(aminocarbonyl)-4-[4,4-bis(4-fluorophenyl)butyl]-N-(2,6-dichlorophenyl)- (9CI) (CA INDEX NAME)



RN 85816-71-3 CA
CN 1-Piperazinepropanamide, 2-(aminocarbonyl)-4-[4,4-bis(4-fluorophenyl)butyl]-N-(2,6-dimethylphenyl)- (9CI) (CA INDEX NAME)



RN 85816-75-7 CA
 CN 1-Piperazinepropanamide, 2-(aminocarbonyl)-4-[4,4-bis(4-fluorophenyl)butyl]-N-(2,6-dichlorophenyl)- (9CI) (CA INDEX NAME)



=> file caold

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	41.83	584.13
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-4.77	-7.42

FILE 'CAOLD' ENTERED AT 14:35:58 ON 14 SEP 2000
 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
 COPYRIGHT (C) 2000 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1907-1966
 FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are

now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> d his

(FILE 'HOME' ENTERED AT 13:28:41 ON 14 SEP 2000)

FILE 'REGISTRY' ENTERED AT 13:29:01 ON 14 SEP 2000

```
L1          STRUCTURE UPLOADED
L2          0 S L1
L3          STRUCTURE UPLOADED
L4          0 S L1
L5          0 S L4 FULL
L6          STRUCTURE UPLOADED
L7          0 S L6
L8          STRUCTURE UPLOADED
L9          0 S L8
L10         STRUCTURE UPLOADED
L11         4 S L10
L12         STRUCTURE UPLOADED
L13         0 S L12
L14         STRUCTURE UPLOADED
L15         0 S L14
L16         13 S L15 FULL
```

FILE 'CA' ENTERED AT 13:40:18 ON 14 SEP 2000

```
L17         5 S L16
L18         0 S L17 AND MURRAY, A?/AU
L19         0 S L17 AND SAUERBERG, P?/AU
L20         0 S L17 AND JEPPESEN, L?/AU
L21         0 S L17 AND PETTERSON, I?/AU
L22         0 S L17 AND BURY, P?/AU
```

FILE 'CAOLD' ENTERED AT 13:42:21 ON 14 SEP 2000

```
L23         0 S L16
```

FILE 'REGISTRY' ENTERED AT 14:22:58 ON 14 SEP 2000

```
L24         STRUCTURE UPLOADED
L25         0 S L24
L26         STRUCTURE UPLOADED
L27         0 S L26
L28         STRUCTURE UPLOADED
L29         1 S L28
L30         443 S L29 FULL
L31         STRUCTURE UPLOADED
L32         0 S L31
L33         STRUCTURE UPLOADED
L34         0 S L33
L35         STRUCTURE UPLOADED
L36         1 S L35
```


L37 1 S L36
 L38 36 S L36 FULL
 L39 29 S L38 NOT L16

FILE 'CA' ENTERED AT 14:33:54 ON 14 SEP 2000

L40 9 S L39
 L41 0 S L40 AND MURRAY, A?/AU
 L42 0 S L40 AND SAUERBERG, P?/AU
 L43 0 S L40 AND JEPPESEN, L?/AU
 L44 0 S L40 AND PETTERSON, I?/AU
 L45 0 S L40 AND BURY, P?/AU

FILE 'CAOLD' ENTERED AT 14:35:58 ON 14 SEP 2000

=> s 139

L46 3 L39

=> d 146, all, 1-3

L46 ANSWER 1 OF 3 CAOLD COPYRIGHT 2000 ACS

AN CA64:12704g CAOLD

TI 1,4-disubstituted piperazines and diazepins

PA Janssen Pharmaceutica N. V.

DT Patent

	PATENT NO.	KIND	DATE			
PI	NL 6507312					
	BE 664940					
	US 3267104		1966			
IT	2511-55-9	2511-56-0	2511-57-1	3046-95-5	3416-26-0	4317-23-1
	5294-59-7	5294-60-0	5294-61-1	5294-62-2	5294-63-3	
	5294-64-4	5294-65-5	5294-73-5	5294-74-6	5294-75-7	
	5294-76-8	5294-77-9	5294-78-0	5294-79-1	5319-99-3	5352-29-4
	5352-37-4	5352-38-5	5360-58-7	5360-59-8	5360-60-1	5360-61-2
	5360-63-4	5360-64-5	5360-66-7	5360-67-8	5360-69-0	5360-75-8
	5360-79-2	5521-95-9	5521-97-1	5521-98-2	5521-99-3	5522-00-9
	5522-02-1	5522-03-2	5522-04-3	5522-05-4	5522-06-5	5522-07-6
	5522-09-8	5522-10-1	5522-11-2	5522-12-3	5522-13-4	
	5522-14-5	5522-15-6	5522-16-7	5522-17-8	5522-18-9	5522-20-3
	5522-21-4	5522-22-5	5522-23-6	5522-24-7	5522-25-8	5522-26-9
	5522-27-0	5522-28-1	5522-29-2	5522-30-5	5522-31-6	5522-32-7
	5522-33-8	5522-34-9	5522-35-0	5522-36-1	5522-37-2	5522-38-3
	5522-39-4	5522-40-7	5522-41-8	5552-17-0	5552-18-1	5552-19-2
	5552-20-5	5552-21-6	5552-22-7	5552-23-8	5552-39-6	5580-42-7
	5580-43-8	5584-38-3	5584-39-4	5590-62-5	5590-63-6	
	5594-19-4	5594-20-7	5594-21-8	5594-22-9	5594-23-0	5594-24-1
	5631-35-6	5631-36-7	5631-37-8	5993-25-9	5993-28-2	5999-10-0
	6002-88-6	6016-23-5	6016-24-6	6016-25-7	6043-27-2	6059-08-1
	6190-10-9	6193-39-1	6263-54-3	6585-18-8	6733-24-0	6887-04-3
	6887-06-5	6887-11-2	6887-95-2	6887-96-3	6910-10-7	6916-76-3
	6921-89-7	6998-33-0	7322-22-7	91952-97-5	95939-03-0	97017-69-1
	97433-80-2	97617-15-7	100302-38-3	105729-82-6		

L46 ANSWER 2 OF 3 CAOLD COPYRIGHT 2000 ACS

AN CA62:11774f CAOLD

TI carboxylic piperazides with chemotherapeutic activity against

Dicrocoelium

dendriticum

AU Schorr, Manfred; Loewe, H.; Juergens, E.; Weber, H.; Laemmler, G.

IT	1610-59-9	1610-60-2	1610-61-3	1610-62-4	1610-63-5	1610-64-6
	1610-65-7	1610-66-8	1610-67-9	1610-68-0	1610-69-1	1610-70-4
	1610-71-5	1610-72-6	1610-73-7	1610-74-8	1610-75-9	1610-76-0
	1610-77-1	1610-78-2	1743-53-9	1743-54-0	1815-57-2	1840-90-0
	1933-60-4	1947-44-0	1947-45-1	1947-46-2	1949-03-7	1949-04-8
	1949-05-9	1949-06-0	1949-07-1	1949-94-6	1949-95-7	1949-96-8
	1949-97-9	1949-98-0	1950-00-1	1950-01-2	1950-02-3	1957-36-4
	1961-21-3	1996-05-0	1996-11-8	2023-42-9	2090-41-7	2090-42-8
	2090-43-9	2090-44-0	2090-45-1	2166-72-5	2166-73-6	2166-74-7
	2166-75-8	2166-76-9	2166-77-0	2166-78-1	2166-79-2	2166-80-5
	2166-81-6	2166-82-7	2166-83-8	2166-84-9	2166-85-0	2166-86-1
	2167-94-4	2167-97-7	2167-98-8	2167-99-9	2168-00-5	2168-01-6
	2168-04-9	2168-05-0	2168-06-1	2168-07-2	2168-08-3	2168-09-4
	2168-10-7	2168-53-8	2168-54-9	2168-55-0	2168-56-1	2168-57-2
	2172-48-7	2172-49-8	2172-50-1	2172-51-2	2172-52-3	2172-54-5
	2172-55-6	2172-56-7	2172-57-8	2172-58-9	2172-59-0	2172-60-3
	2172-61-4	2172-62-5	2172-63-6	2172-64-7	2172-65-8	2172-66-9
	2172-67-0	2172-68-1	2172-69-2	2172-70-5	2177-73-3	2177-74-4
	2177-75-5	2177-76-6	2274-52-4	2274-53-5	2390-20-7	
	2390-21-8	2390-22-9	2390-71-8	2390-72-9	2394-52-7	2394-53-8
	2394-54-9	2394-55-0	2412-55-7	2459-67-8	2459-68-9	2459-69-0
	2459-70-3	2459-71-4	2459-72-5	2459-73-6	2459-74-7	
	2459-75-8	2459-76-9	2459-77-0	2459-78-1	2459-79-2	2459-80-5
	2459-81-6	2540-35-4	2586-16-5	2611-73-6	2636-34-2	2636-35-3
	2636-36-4	2636-37-5	2672-35-7	2672-36-8	2779-83-1	2779-84-2
	2780-77-0	2781-43-3	2881-91-6	2897-99-6	2949-31-7	2949-32-8
	2950-05-2	2950-07-4	2950-08-5	3021-52-1	3149-77-7	3712-21-8
	3921-49-1	3921-50-4	3921-51-5	3921-52-6	3921-53-7	3921-54-8
	3921-55-9	3921-56-0	3921-57-1	3921-58-2	3985-92-0	4018-76-2
	4192-84-1	4598-91-8	19618-30-5	19618-36-1	98438-67-6	99950-56-8
	102218-34-8	103799-29-7				

L46 ANSWER 3 OF 3 CAOLD COPYRIGHT 2000 ACS

AN CA57:8488i CAOLD

TI reactions with diazocarbonyl compds. - (III) reactions of diazoketones with ketenes

AU Ried, Walter; Mengler, H.

IT	1955-37-9	1955-38-0	1955-39-1	1955-40-4	1955-41-5	1955-54-0
	2313-02-2	2313-03-3	3578-10-7	3578-11-8	3579-38-2	3579-40-6
	3579-41-7	4437-14-3	65155-71-7	93656-85-0	95026-75-8	95436-16-1
	96810-60-5	97015-75-3	98274-93-2	100024-67-7		

=> file reg

COST IN U.S. DOLLARS

SINCE FILE
ENTRYTOTAL
SESSION

FULL ESTIMATED COST

1.83

585.96

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE
ENTRYTOTAL
SESSION

CA SUBSCRIBER PRICE

0.00

-7.42

FILE 'REGISTRY' ENTERED AT 14:36:20 ON 14 SEP 2000
 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
 COPYRIGHT (C) 2000 American Chemical Society (ACS)

STRUCTURE FILE UPDATES: 13 SEP 2000 HIGHEST RN 289029-92-1
 DICTIONARY FILE UPDATES: 13 SEP 2000 HIGHEST RN 289029-92-1

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 11, 2000

Please note that search-term pricing does apply when
 conducting SmartSELECT searches.

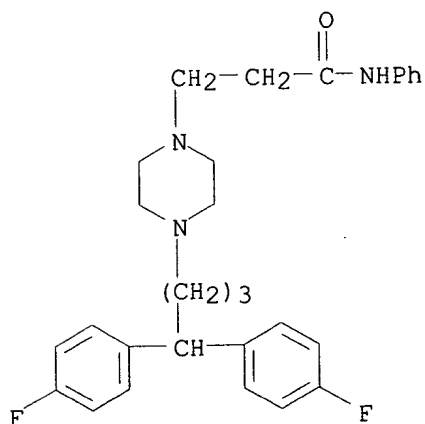
Structure search limits have been increased. See HELP SLIMIT
 for details.

=> s 5294-64-4/rn

L47 1 5294-64-4/RN

=> d 147

L47 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2000 ACS
 RN 5294-64-4 REGISTRY
 CN 1-Piperazinepropionanilide, 4-[4,4-bis(p-fluorophenyl)butyl]-,
 dihydrochloride (7CI, 8CI) (CA INDEX NAME)
 MF C29 H33 F2 N3 O . 2 Cl H
 LC STN Files: CAOLD
 CRN (212828-76-7)



● 2 HCl

1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

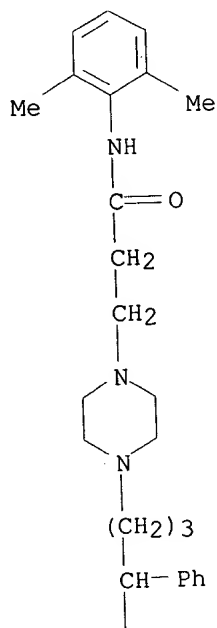
=> s 5522-10-1/rn

L48 1 5522-10-1/RN

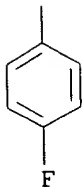
=> d 148

L48 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2000 ACS
RN 5522-10-1 REGISTRY
CN 1-Piperazinepropiono-2',6'-xylidide,
4-[4-(p-fluorophenyl)-4-phenylbutyl]-
, dihydrochloride (7CI, 8CI) (CA INDEX NAME)
MF C31 H38 F N3 O . 2 Cl H
LC STN Files: CAOLD

PAGE 1-A



PAGE 2-A



● 2 HCl

1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

=> s 5590-63-6/rn

L49 1 5590-63-6/RN

=> d 149

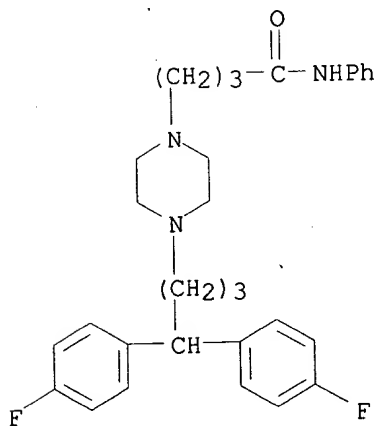
L49 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2000 ACS

RN 5590-63-6 REGISTRY

CN 1-Piperazinebutyranilide, 4-[4,4-bis(p-fluorophenyl)butyl]-, dihydrochloride (7CI, 8CI) (CA INDEX NAME)

MF C30 H35 F2 N3 O . 2 Cl H

LC STN Files: CAOLD



● 2 HCl

1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

=> s 2390-20-7/rn

L50 1 2390-20-7/RN

=> d 150

L50 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2000 ACS

RN 2390-20-7 REGISTRY

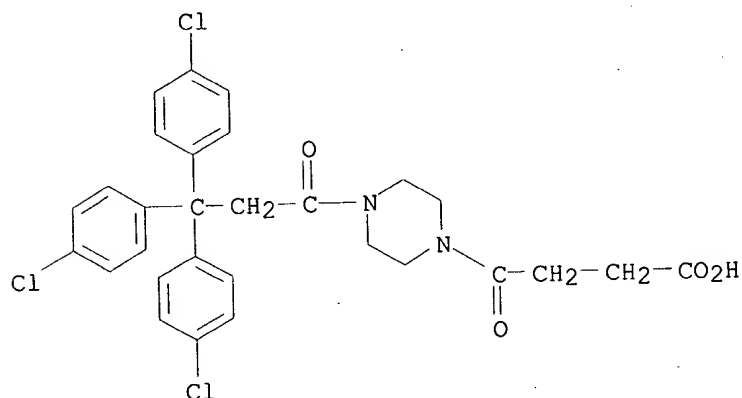
CN 1-Piperazinebutanoic acid, .gamma.-oxo-4-[3,3,3-tris(4-chlorophenyl)-1-oxopropyl]- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 1-Piperazinebutyric acid, .gamma.-oxo-4-[3,3,3-tris(p-chlorophenyl)propionyl]- (7CI)

MF C29 H27 Cl3 N2 O4

LC STN Files: BEILSTEIN*, CAOLD
(*File contains numerically searchable property data)



1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

=> s 2459-71-4/rn

L51 1 2459-71-4/RN

=> d 151

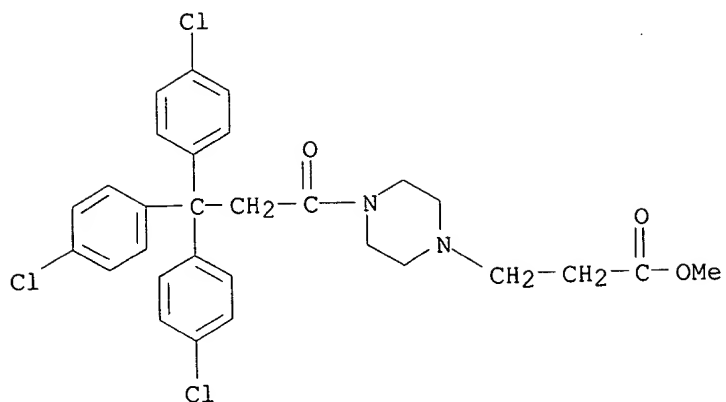
L51 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2000 ACS

RN 2459-71-4 REGISTRY

CN 1-Piperazinepropionic acid, 4-[3,3,3-tris(p-chlorophenyl)propionyl]-, methyl ester, hydrochloride (7CI, 8CI) (CA INDEX NAME)

MF C29 H29 Cl3 N2 O3 . Cl H

LC STN Files: CAOLD



● HCl

1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

=> d his

(FILE 'HOME' ENTERED AT 13:28:41 ON 14 SEP 2000)

FILE 'REGISTRY' ENTERED AT 13:29:01 ON 14 SEP 2000

L1	STRUCTURE UPLOADED
L2	0 S L1
L3	STRUCTURE UPLOADED
L4	0 S L1
L5	0 S L4 FULL
L6	STRUCTURE UPLOADED
L7	0 S L6
L8	STRUCTURE UPLOADED
L9	0 S L8
L10	STRUCTURE UPLOADED
L11	4 S L10
L12	STRUCTURE UPLOADED
L13	0 S L12
L14	STRUCTURE UPLOADED
L15	0 S L14
L16	13 S L15 FULL

FILE 'CA' ENTERED AT 13:40:18 ON 14 SEP 2000

L17	5 S L16
L18	0 S L17 AND MURRAY, A?/AU
L19	0 S L17 AND SAUERBERG, P?/AU
L20	0 S L17 AND JEPPESEN, L?/AU
L21	0 S L17 AND PETTERSON, I?/AU
L22	0 S L17 AND BURY, P?/AU

FILE 'CAOLD' ENTERED AT 13:42:21 ON 14 SEP 2000

L23 0 S L16

FILE 'REGISTRY' ENTERED AT 14:22:58 ON 14 SEP 2000

L24 STRUCTURE UPLOADED
L25 0 S L24
L26 STRUCTURE UPLOADED
L27 0 S L26
L28 STRUCTURE UPLOADED
L29 1 S L28
L30 443 S L29 FULL
L31 STRUCTURE UPLOADED
L32 0 S L31
L33 STRUCTURE UPLOADED
L34 0 S L33
L35 STRUCTURE UPLOADED
L36 1 S L35
L37 1 S L36
L38 36 S L36 FULL
L39 29 S L38 NOT L16

FILE 'CA' ENTERED AT 14:33:54 ON 14 SEP 2000

L40 9 S L39
L41 0 S L40 AND MURRAY, A?/AU
L42 0 S L40 AND SAUERBERG, P?/AU
L43 0 S L40 AND JEPPESEN, L?/AU
L44 0 S L40 AND PETTERSON, I?/AU
L45 0 S L40 AND BURY, P?/AU

FILE 'CAOLD' ENTERED AT 14:35:58 ON 14 SEP 2000

L46 3 S L39

FILE 'REGISTRY' ENTERED AT 14:36:20 ON 14 SEP 2000

L47 1 S 5294-64-4/RN
L48 1 S 5522-10-1/RN
L49 1 S 5590-63-6/RN
L50 1 S 2390-20-7/RN
L51 1 S 2459-71-4/RN